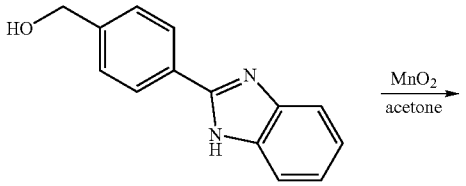
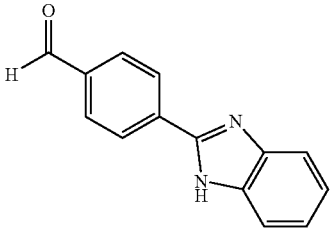
Example 117

N'-{[4-(1H-benzimidazol-2-yl)phenyl]methyl}-3-chloro-N'-(2-hydroxyethyl)-4-[(1-methylethyl)oxy] benzohydrazide

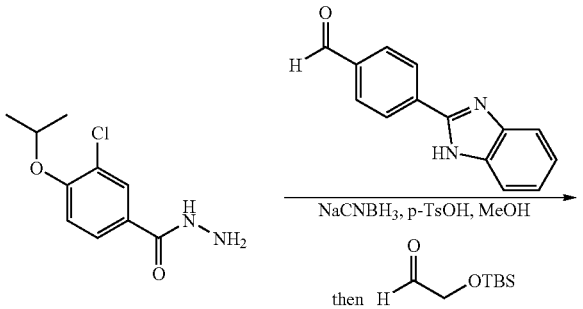
[1009]





a) 4-(1H-benzimidazol-2-yl)benzaldehyde

[1010] A solution of the compound from Example 110b) (0.224 g, 1.00 mmol) in acetone (30.0 mL) was treated with activated manganese dioxide (0.869 g, 10.0 mmol) and stirred overnight at ambient temperature. The reaction mixture was filtered through celite, washed through with acetone, and concentrated in vacuo to give the title compound as a light yellow solid (0.218 g; 98%). ^{1}H NMR (400 MHz, DMSO-d_6) δ ppm 13.16 (br. s., 1H) 10.08 (s, 1H) 8.39 (d, J=8.3 Hz, 2H) 8.08 (d, J=8.3 Hz, 2H) 7.56-7.81 (m, 2H) 7.25 (dd, J=6.1, 3.0 Hz, 2H). MS (ES+) m/e 223 $[M+H]^+$.



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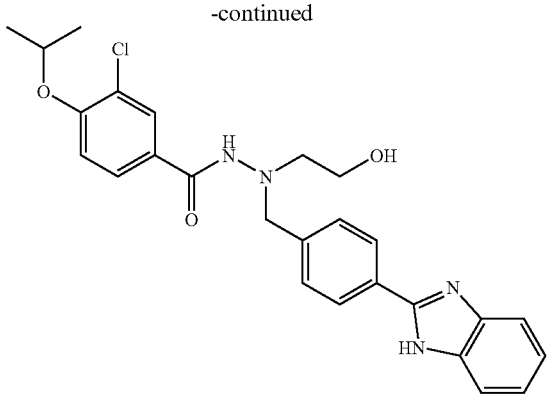


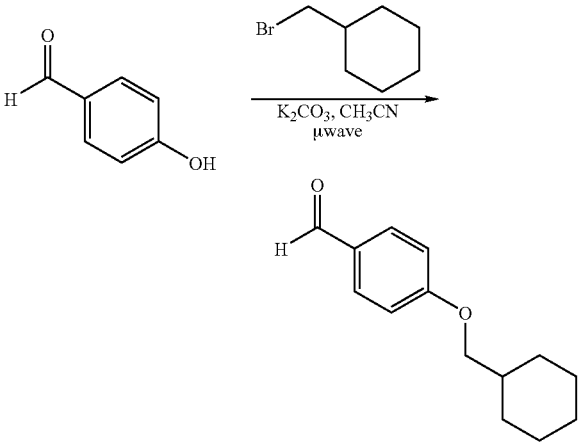
b) N'-{[4-(1H-benzimidazol-2-yl)phenyl]methyl}-3-chloro-N'-(2-hydroxyethyl)-4-[(1-methylethyl)oxy] benzohydrazide

[1011] Following the procedure of Example 116b), except substituting the compound from Example 101b) for the compound from Example 116a) and the compound from Example 117a) for the compound from Example 114a), the title compound was obtained as a white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ ppm 12.8 (s, 1H) 9.44 (s, 1H) 8.08 (d, J=8.3 Hz, 2H) 7.75 (d, J=2.3 Hz, 1H) 7.64 (dd, J=8.7, 2.1 Hz, 1H) 7.56 (d, J=8.1 Hz, 2H) 7.41-7.68 (m, 2H) 7.20 (d, J=8.8 Hz, 2H) 7.15-7.20 (m, 1H) 4.73 (qq, J=6.1 Hz, 1H) 4.50 (t, J=6.1 Hz, 1H) 4.17 (s, 2H) 3.49 (q, J=5.6 Hz, 2H) 2.99 (t, J=5.7 Hz, 2H) 1.27 (d, J=6.1 Hz, 6H). MS (ES+) m/e 479 $[M+H]^+$.

Example 118

3-chloro-N'-({4-[(cyclohexylmethyl)oxy] phenyl}methyl)-N'-(2-hydroxyethyl)-4-[(1-methyl-ethyl)oxy]benzohydrazide

[1012]



a) 4-[(cyclohexylmethyl)oxy]benzaldehyde

[1013] A solution of 4-hydroxybenzaldehyde (0.244 g, 2.00 mmol) in CH_3CN (4.0 mL) was treated with bromom-